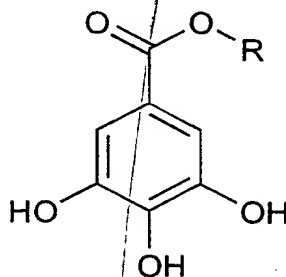


WE CLAIM:

1. A method for increasing bioavailability of an orally administered pharmaceutical compound, the method comprising:

orally coadministering (1) the pharmaceutical compound to a mammal in need of treatment with the compound and (2) a gallic acid ester in an amount of the gallic acid ester sufficient to provide bioavailability of the compound in the presence of the gallic acid ester greater than bioavailability of the compound in the absence of the gallic acid ester, wherein said gallic acid ester is other than propyl gallate.

2. The method of claim 1, wherein the gallic acid ester has the formula



wherein R is a substituted or unsubstituted alkyl, alkenyl, alkynyl, aryl, benzyl, phenyl, alicyclic or heterocyclic group.

3. The method of claim 2, wherein R is a substituted or unsubstituted alkyl, alkenyl or alkynyl group.

4. The method of claim 3, wherein R is a C₁-C₂₂ alkyl group or a C₂-C₂₂ alkenyl group.

5. The method of claim 4, wherein R is a C₁-C₁₂ alkyl group.

6. The method of claim 5, wherein R is selected from the group consisting of a methyl group, an octyl group, and a lauryl group.

7. The method of claim 4, wherein R is a C₂-C₁₈ alkenyl group.

8. The method of claim 4, wherein R is selected from the group
5 consisting of a methyl group, an octyl group, a lauryl group, a cis-9-hexadecenyl
group, a cis-9-octadecenyl group, a cis,cis-9,12-octadecadienyl group, a trans,trans-
9,12-octadecadienyl group, a cis,cis,cis-9,12,15-octadecatrienyl group, a
trans,trans,trans-9,12,15-octadecatrienyl group, a cis,cis,cis-6,9,12-octadecatrienyl
group, a trans-9-octadecenyl group and a trans-9-hexadecenyl group.

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9. The method of claim 1, wherein said gallic acid ester is selected from
the group consisting of (-)-epicatechin gallate, (-)-epigallocatechin gallate, (-)-
gallocatechin gallate, and tannic acid.

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10. The method of claim 1, wherein the gallic acid ester is coadministered
in a range of 0.01 to 100 units of the gallic acid ester per 1 unit of the pharmaceutical
compound.

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11. The method of claim 10, wherein the gallic acid ester is coadministered
in a range of 0.1 to 10 units of the gallic acid ester per 1 unit of the pharmaceutical
compound.

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12. The method of claim 11, wherein the gallic acid ester is coadministered
in a range of 0.5 to 2 units of the gallic acid ester per 1 unit of the pharmaceutical
compound.

13. The method of claim 1, wherein the gallic acid ester comprises at least
two of octyl gallate, lauryl gallate, and methyl gallate.

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14. The method of claim 1, wherein the pharmaceutical compound is
hydrophobic.

15. The method of claim 1, wherein the amount is sufficient to produce a concentration of the gallic acid ester in the lumen of the gut of the mammal of at least 0.1 times a K_i or apparent K_i of CYP3A inhibition of the compound.

5 16. The method of claim 1, wherein bioavailability of the compound in the presence of the gallic acid ester is greater than bioavailability of the compound in the absence of the gallic acid ester by at least 10% of the difference between bioavailability in the absence of the gallic acid ester and complete oral bioavailability.

10 17. The method of claim 16, wherein bioavailability of the compound in the presence of the gallic acid ester is greater than bioavailability of the compound in the absence of the gallic acid ester by at least 50% of the difference between bioavailability in the absence of the gallic acid ester and complete oral bioavailability.

15 18. The method of claim 17, wherein bioavailability of the compound in the presence of the gallic acid ester is greater than bioavailability of the compound in the absence of the gallic acid ester by at least 75% of the difference between bioavailability in the absence of the gallic acid ester and complete oral bioavailability.

20 19. The method of claim 1, wherein the gallic acid ester shows an inhibition of at least 20% when the gallic acid ester and the compound are present in a 1:1 gallic acid ester:compound ratio.

25 20. The method of claim 1, wherein the pharmaceutical compound comprises an acetanilide, aminoacridine, aminoquinoline, anilide, anthracycline antibiotic, antiestrogen, benzazepine, benzhydryl compound, benzodiazapine, benzofuran, cannabinoid, cephalosporine, colchicine, cyclic peptide, dibenzazepine, digitalis glycoside, dihydropyridine, epiphodophyllotoxin, ergeline, ergot alkaloid, 30 imidazole, isoquinoline, macrolide, naphthalene, nitrogen mustard, opioid, oxazine, oxazole, phenothiazine, phenylalkylamine, phenylpiperidine, piperazine, piperidine, polycyclic aromatic hydrocarbon, pyridine, pyridone, pyrimidine, pyrrolidine,

pyrrolidinone, quinazoline, quinoline, quinone, rauwolfia alkaloid, retinoid, salicylate, steroid, stilbene, sulfone, sulfonylurea, taxol, triazole, tropane, or vinca alkaloid.

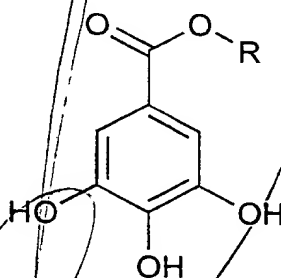
21. The method of claim 1, wherein the gallic acid ester is present as a
5 counter ion of the pharmaceutical compound.

22. The method of claim 1, wherein the gallic acid ester is covalently bound to the pharmaceutical compound.

23. A method of formulating an oral pharmaceutical composition, the method comprising:

admixing a pharmaceutical compound, a pharmaceutical carrier, and a gallic acid ester, the gallic acid ester being present in sufficient amount to provide bioavailability of the pharmaceutical compound in the presence of the gallic acid ester
15 greater than the bioavailability of the pharmaceutical compound in the absence of the gallic acid ester when the pharmaceutical composition is administered orally to a mammal, wherein said gallic acid ester is other than propyl gallate.

24. The method of claim 23, wherein the gallic acid ester has the formula
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wherein R is a substituted or unsubstituted alkyl, alkenyl, alkynyl, aryl, benzyl, phenyl, alicyclic or heterocyclic group.

25. The method of claim 24, wherein R is a substituted or unsubstituted alkyl, alkenyl or alkynyl group.
25

26. The method of claim 25, wherein R is a C₁-C₂₂ alkyl group or a C₂-C₂₂ alkenyl group.

27. The method of claim 26, wherein R is a C₁-C₁₂ alkyl group.

28. The method of claim 27, wherein R is selected from the group consisting of a methyl group, an octyl group, and a lauryl group.

29. The method of claim 26, wherein R is a C₂-C₁₈ alkenyl group.

30. The method of claim 26, wherein R is selected from the group consisting of a methyl group, an octyl group, a lauryl group, a cis-9-hexadecenyl group, a cis-9-octadecenyl group, a cis,cis-9,12-octadecadienyl group, a trans,trans-9,12-octadecadienyl group, a cis,cis,cis-9,12,15-octadecatrienyl group, a trans,trans,trans-9,12,15-octadecatrienyl group, a cis,cis,cis-6,9,12-octadecatrienyl group, a trans-9-octadecenyl group, and a trans-9-hexadecenyl group.

31. The method of claim 23, wherein said gallic acid ester is selected from the group consisting of (-)-epicatechin gallate, (-)-epigallocatechin gallate, (-)-gallocatechin gallate, and tannic acid.

32. The method of claim 23, wherein the gallic acid ester is present in a range of 0.01 to 100 units of the gallic acid ester per 1 unit of the pharmaceutical compound.

33. The method of claim 32, wherein the gallic acid ester is present in a range of 0.5 to 2 units of the gallic acid ester per 1 unit of the pharmaceutical compound.

34. The method of claim 23, wherein the gallic acid ester is present in an amount sufficient to produce a concentration of the gallic acid ester in the lumen of the gut of the mammal of at least 0.1 times a K_i or apparent K_i of CYP3A inhibition of the compound.

35. The method of claim 23, wherein bioavailability of the compound in the presence of the gallic acid ester is greater than bioavailability of the compound in the absence of the gallic acid ester by at least 10% of the difference between
5 bioavailability in the absence of the gallic acid ester and complete oral bioavailability.

36. The method of claim 23, wherein the gallic acid ester is present in an amount sufficient to provide at least 1% by weight of the gallic acid ester relative to
10 the total weight of the pharmaceutical composition.

37. The method of claim 23, wherein the gallic acid ester comprises at least two of octyl gallate, lauryl gallate, and methyl gallate.

38. The method of claim 23, wherein the gallic acid ester is present as a counter ion of the pharmaceutical compound.

39. The method of claim 23, wherein the gallic acid ester is covalently bound to the pharmaceutical compound.

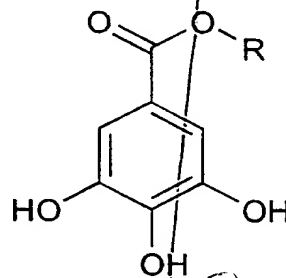
40. The method of claim 23, wherein the pharmaceutical compound comprises an acetanilide, aminoacridine, aminoquinoline, anilide, anthracycline antibiotic, antiestrogen, benzazepine, benzhydryl compound, benzodiazapine, benzofuran, cannabinoid, cephalosporine, colchicine, cyclic peptide, dibenzazepine,
25 digitalis glycoside, dihydropyridine, epiphodophyllotoxin, ergeline, ergot alkaloid, imidazole, isoquinoline, macrolide, naphthalene, nitrogen mustard, opioid, oxazine, oxazole, phenothiazine, phenylalkylamine, phenylpiperidine, piperazine, piperidine, polycyclic aromatic hydrocarbon, pyridine, pyridone, pyrimidine, pyrrolidine, pyrrolidinone, quinazoline, quinoline, quinone, rauwolfia alkaloid, retinoid,
30 salicylate, steroid, stilbene, sulfone, sulfonylurea, taxol, triazole, tropane, or vinca alkaloid.

41. A pharmaceutical composition produced by the process of claim 23.

42. The composition of claim 41, wherein the gallic acid ester is present in an amount sufficient to provide at least 1% by weight of the gallic acid ester relative to the total weight of the pharmaceutical composition.

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43. A method of increasing bioavailability of the active compound of an existing oral pharmaceutical composition, the method comprising:
10 reformulating the existing composition to provide a reformulated oral composition by admixing the active compound with a gallic acid ester, the gallic acid ester being present in sufficient amount to provide bioavailability of the active compound when administered in the reformulated composition greater than said bioavailability of the active compound when administered in the existing pharmaceutical composition, wherein said gallic acid ester is other than propyl gallate.

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44. The method of claim 43, wherein the gallic acid ester has the formula



20 wherein R is a substituted or unsubstituted alkyl, alkenyl, alkynyl, aryl, phenyl, benzyl, alicyclic or heterocyclic group.

45. The method of claim 44, wherein R is a substituted or unsubstituted alkyl, alkenyl or alkynyl group.

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46. The method of claim 45, wherein R is a C₁-C₂₂ alkyl group or a C₂-C₂₂ alkenyl group.

47. The method of claim 46, wherein R is a C₁-C₁₂ alkyl group.

48. The method of claim 47, wherein R is selected from the group consisting of a methyl group, an octyl group, and a lauryl group.

49. The method of claim 46, wherein R is a C₂-C₁₈ alkenyl group.

50. The method of claim 46, wherein R is selected from the group consisting of a methyl group, an octyl group, a lauryl group, a cis-9-hexadecenyl group, a cis-9-octadecenyl group, a cis,cis-9,12-octadecadienyl group, a trans,trans-9,12-octadecadienyl group, a cis,cis,cis-9,12,15-octadecatrienyl group, a trans,trans,trans-9,12,15-octadecatrienyl group, a cis,cis,cis-6,9,12-octadecatrienyl group, a trans-9-octadecenyl group, and a trans-9-hexadecenyl group.

51. The method of claim 43, wherein said gallic acid ester is selected from the group consisting of (-)-epicatechin gallate, (-)-epigallocatechin gallate, (-)-gallocatechin gallate, and tannic acid.

52. The method of claim 43, wherein the gallic acid ester is present in a range of 0.01 to 100 units of the gallic acid ester per 1 unit of the pharmaceutical compound.

53. The method of claim 52, wherein the gallic acid ester is present in a range of 0.5 to 2 units of the gallic acid ester per 1 unit of the pharmaceutical compound.

54. The method of claim 43, wherein the reformulated oral composition comprises all components present in the existing pharmaceutical composition plus the gallic acid ester.

55. The method of claim 43, wherein the reformulated oral composition contains less than all components present in the existing pharmaceutical composition plus the gallic acid ester.

